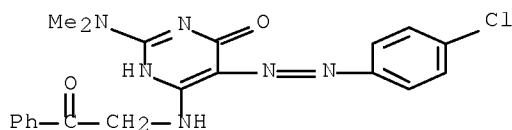


TITLE: Pyrimidine derivatives
 INVENTOR(S): Boon, Wm. R.
 PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	GB 763041		19561205	GB 1952-9782	19520418 <--
GI	For diagram(s), see printed CA Issue.				
AB	<p> N:C(NXY).N:C(W.C(N:NAr):CZ (I), useful as intermediates in the preparation of compds. active against schistosomiasis, were prepared [MeNC(:NH)NH₂]₂.H₂SO₄ 91 refluxed 30 min. with a solution of MeONa (prepared from Na 15 and MeOH 300), CH₂(CO₂Et)₂ 116 added, the mixture heated a further 6 hrs., H₂O 450 parts added together with sufficient AcOH to render the solution acid to litmus, and the precipitate filtered off gave N:C(NMe₂).N:C(OH).CH:COH (II). II 155 and POCl₃ 100 refluxed 30 min., the mixture cooled, poured into ice 800 and 32% aqueous NaOH 330 parts, the precipitate filtered off, washed, and purified by steam distillation gave the 4,6-Cl₂ analog (III), m. 54°. III 38 and alc. NH₃ 100 parts heated 18 hrs. at 120°, the mixture cooled, steam distilled, and the residue filtered off gave N:C(NMe₂).N:C(NH₂).CH:CCl (IV), m. 151°. To IV 43 parts in AcOH 400 parts and H₂O 1000 parts was added a solution of p-ClC₆H₄N₂Cl (V) (prepared by diazotization of 4-ClC₆H₄NH₂) and sufficient NaOAc to make the solution neutral to Congo red, the solution let stand 17 hrs., and the precipitate filtered off to give I (X = Y = Me, Z = NH₂, Ar = 4-ClC₆H₄, W = Cl), m. 228°. Similarly were prepared the following I by coupling with N:C(NMe₂).N:C(NHMe).CH:CCl (m. 78°) (X = Y = Me, Z = NHMe, and W = Cl in all cases) (Ar and m.p. given): 4-ClC₆H₄, 184°; Ph, 163°; 2-MeOC₆H₄, 174°; 4-O₂NC₆H₄, 265°; 1-naphthyl, 236°. In the same way, I (X = Y = Me, Z = NMe₂, Ar = 4-ClC₆H₄, W = Cl), m. 91°, was prepared from N:C(NMe₂).N:C(NMe₂).CH:CCl, m. 53°. BzCH₂(NH₂)Ph and N:CCl.N:CCl.CH:CCl (VI) gave 2,4-dichloro-6-desylaminopyrimidine (VII), m. 162°. VII and alc. Me₂NH refluxed 3 hrs. gave the 2-Me₂N analog (VIII), m. 182°. VIII coupled with V afforded I (X = Y = Me, Z = BzPhCH, W = Cl, Ar = 4-ClC₆H₄), m. 253° (decomposition). Similarly were prepared from BzCH(NH₂)C₆H₄Cl-4.HCl (m. 248°): α-(4-chlorophenyl)-α-(2,4-dichloro-6-pyrimidylamino)acetophenone, m. 144-5°; α-(4-chlorophenyl)-α-(4-chloro-2-dimethylamino-6-pyrimidylamino)acetophenone, m. 155-6°; α-(4-chlorophenyl)-α-4-chloro-5-p-chlorophenylazo-2-dimethylamino-6-pyrimidylamino)acetophenone, m. 248°. III and H₂NCH₂CO₂Et refluxed 36 hrs. in alc. gave the 4-EtO₂CCH₂NH analog, m. 121°, which on coupling with V gave I (X = Y = Me, Z = EtO₂CCH₂NH, W = Cl, Ar = 4-ClC₆H₄), m. 214°. III and NaOMe solution stirred 18 hrs. gave the 4-MeO analog (IX), m. 62°. IX and 10N HCl heated 30 min. on a steam bath afforded the 4-HO analog (X), m. 216°. X coupled with V yielded I (X = Y = Me, Z = HO, W = Cl, Ar = 4-ClC₆H₄), m. 222°. N:CCl.N:CCl.CH:CNHMe and Et₂NH in MeOH refluxed 8 hrs. gave the 2-Et₂N derivative, m. 39-40°, which on coupling with V afforded I (X = Y = Et, Z = NHMe, Ar = 4-ClC₆H₄, W = Cl), m. 126°. Similarly were prepared: 4-chloro-6-methylamino-2-piperidinopyrimidine, m. 117°, and its 5-p-ClC₆H₄N:N derivative, m. 190°. H₂NCH₂C(:NNHCONH₂)Me and VI treated with NaOEt solution gave 2,4-dichloro-6-pyrimidylaminoacetone (XI) semicarbazone (XII), m. 209°. XII heated with 2N HCl gave XI, m. 102°. XI and Me₂NH in EtOH refluxed 3 hrs. yielded the 2-Me₂N analog, m. 134°, which on coupling with V gave I (X = Y = Me, Z = AcCH₂NH, Ar = 5-p-ClC₆H₄, W = Cl), m. 233°. </p>				
IT	103388-37-0				

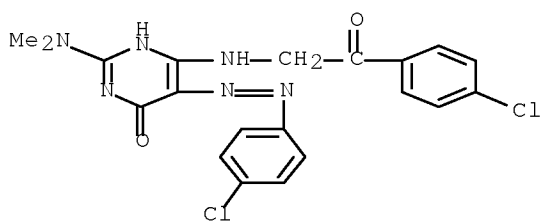
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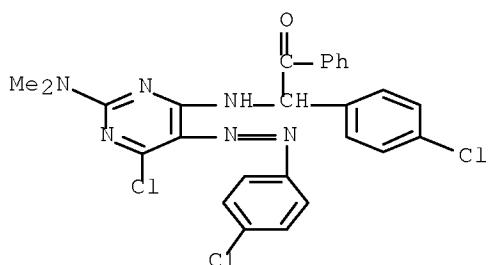


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 (preparation of)

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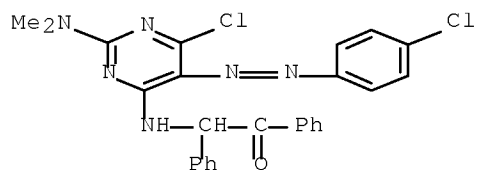


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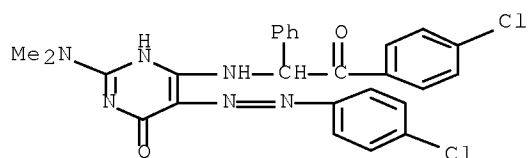
RN 103758-00-5 ZCAPLUS

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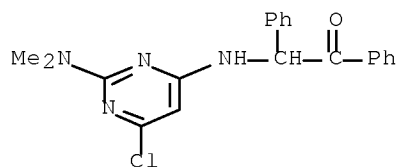
RN 103758-01-6 ZCAPLUS

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RN 109694-08-8 ZCAPLUS

CN Acetophenone, 2-[(6-chloro-2-dimethylamino-4-pyrimidinyl)amino]-2-phenyl- (6CI) (CA INDEX NAME)



RN 109804-94-6 ZCAPLUS

CN Acetophenone, 2-[(6-chloro-2-dimethylamino-4-pyrimidinyl)amino]-2-(p-chlorophenyl)- (6CI) (CA INDEX NAME)

